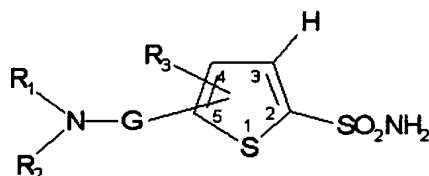


We claim:

1. A compound of the formula



or a pharmaceutically acceptable salt thereof wherein:

5 R<sub>1</sub> is H; C<sub>1-4</sub> alkyl; C<sub>2-4</sub> alkyl substituted optionally with OH, halogen, C<sub>1-4</sub> alkoxy or C(=O)R<sub>7</sub>;

10 *sub*  
*for*  
*B1*  
R<sub>2</sub> is H; C<sub>1-8</sub> alkyl; C<sub>2-8</sub> alkyl substituted with OH, NR<sub>5</sub>R<sub>6</sub>, halogen, C<sub>1-4</sub> alkoxy, C<sub>2-4</sub>alkoxyC<sub>1-4</sub>alkoxy, OC(=O)R<sub>7</sub>, or C(=O)R<sub>7</sub>; C<sub>3-7</sub> alkenyl unsubstituted or substituted optionally with OH, NR<sub>5</sub>R<sub>6</sub>, or C<sub>1-4</sub> alkoxy; C<sub>3-7</sub> alkynyl unsubstituted or substituted optionally with C<sub>1-3</sub>alkyl, C<sub>1-3</sub>halo alkyl, OH, NR<sub>5</sub>R<sub>6</sub>, or C<sub>1-4</sub> alkoxy; C<sub>1-3</sub> alkyl substituted with phenyl or R<sub>10</sub> either of which can be unsubstituted or substituted optionally with C<sub>1-3</sub>alkyl, C<sub>1-3</sub>halo alkyl, OH, (CH<sub>2</sub>)<sub>n</sub>NR<sub>5</sub>R<sub>6</sub>, halogen, C<sub>1-4</sub> alkoxy, C<sub>1-4</sub> haloalkoxy, C(=O)R<sub>7</sub>, S(=O)<sub>m</sub>R<sub>8</sub> or SO<sub>2</sub>NR<sub>5</sub>R<sub>6</sub>, wherein m is 0 - 2 and n is 0 - 2; C<sub>2-4</sub> alkoxy substituted optionally with NR<sub>5</sub>R<sub>6</sub>, halogen, C<sub>1-4</sub> alkoxy, or C(=O)R<sub>7</sub>; phenyl or R<sub>10</sub> either of which can be unsubstituted or substituted optionally with OH, (CH<sub>2</sub>)<sub>n</sub>NR<sub>5</sub>R<sub>6</sub>, halogen, C<sub>1-4</sub> alkoxy, C<sub>1-4</sub> haloalkoxy, C(=O)R<sub>7</sub>, S(=O)<sub>m</sub>R<sub>8</sub> or SO<sub>2</sub>NR<sub>5</sub>R<sub>6</sub>, wherein m is 0 - 2 and n is 0 - 2; provided that R<sub>1</sub> and R<sub>2</sub> cannot both be H; or R<sub>1</sub> and R<sub>2</sub> can be joined to form a saturated ring of 5 or 6 atoms selected from O, S, C or N, such as, morpholine, piperazine, thiazolidine 1,1 dioxide, or

5- tetrahydrooxazine, which can be unsubstituted or substituted optionally on carbon with OH,  $\text{NR}_5\text{R}_6$ , halogen,  $\text{C}_{1-4}$  alkoxy,  $\text{C}(=\text{O})\text{R}_7$ ,  $\text{C}_{1-6}$  alkyl,  $\text{C}_{1-6}$  alkyl substituted optionally with OH,  $\text{NR}_5\text{R}_6$ , halogen,  $\text{C}_{1-4}$  alkoxy,  $\text{C}(=\text{O})\text{R}_7$  or on nitrogen with  $\text{NR}_5\text{R}_6$ ,  $\text{C}_{1-4}$  alkoxy,  $\text{C}(=\text{O})\text{R}_7$ ,  $\text{C}_{1-6}$  alkyl or  $\text{C}_{2-6}$  alkyl substituted optionally with OH,  $\text{NR}_5\text{R}_6$ , halogen,  $\text{C}_{1-4}$  alkoxy or  $\text{C}(=\text{O})\text{R}_7$ ;

10  $\text{R}_3$  is H; halogen;  $\text{C}_{1-4}$  alkyl;  $\text{C}_{1-8}$  alkoxy;  $\text{C}_{1-8}$  alkylthiol;  $\text{C}_{2-8}$  alkoxy substituted optionally with OH,  $\text{NR}_5\text{R}_6$ , halogen,  $\text{C}_{1-4}$  alkoxy or  $\text{C}(=\text{O})\text{R}_7$ ;  $\text{C}_{1-4}$  alkyl substituted optionally with  $\text{R}_4$ ; or  $\text{R}_1$  and  $\text{R}_3$  can be joined together with carbon atoms to form a ring of from 5 to 7 members in which said carbon atoms can be unsubstituted or substituted optionally with  $\text{R}_4$ ;

15  $\text{R}_4$  is OH;  $\text{C}_{1-4}$  alkyl unsubstituted or substituted optionally with OH,  $\text{NR}_5\text{R}_6$ , halogen,  $\text{C}_{1-4}$  alkoxy or  $\text{C}(=\text{O})\text{R}_7$ ;  $\text{C}_{1-4}$  alkoxy;  $\text{C}_{2-4}$  alkoxy substituted optionally with OH,  $\text{NR}_5\text{R}_6$ , halogen,  $\text{C}_{1-4}$  alkoxy or  $\text{C}(=\text{O})\text{R}_7$ ;  $\text{NR}_5\text{R}_6$ ; phenyl or  $\text{R}_{10}$  either of which can be unsubstituted or substituted optionally with OH,  $(\text{CH}_2)_n\text{NR}_5\text{R}_6$ , halogen,  $\text{C}_{1-4}$  alkoxy,  $\text{C}_{1-4}$  haloalkoxy,  $\text{C}(=\text{O})\text{R}_7$ ,  $\text{S}(=\text{O})_m\text{R}_8$  or  $\text{SO}_2\text{NR}_5\text{R}_6$ , wherein m is 0 - 2 and n is 0 - 2;

20 Provided that when G is  $\text{SO}_2$  and  $\text{R}_3$  is in the 4 position and is H or halogen then  $\text{R}_1$  and  $\text{R}_2$  are not H,  $\text{C}_{1-6}$  alkyl substituted optionally with OH,  $\text{C}_{1-6}$  alkoxy,  $\text{C}_{2-6}$  alkoxy, carbonyl,  $\text{C}_{2-6}$  alkenyl, phenyl, phenoxy, pyridyl, tetrahydrofuryl,  $\text{C}_{2-6}$  alkanoyl,  $\text{C}_{2-6}$  alkenyl, nor are they joined to form a 5, 6 or 7 member ring, saturated or unsaturated, comprised of atoms selected optionally from C, O, S, N in which said nitrogen, when saturated, is substituted optionally with H or  $\text{C}_{1-6}$  alkyl or in which said carbon is substituted optionally with  $\text{C}_{1-6}$  alkyl,  $\text{C}_{1-6}$  alkoxy or OH; and when  $\text{R}_3$  is in the 5 position and is H, Cl, Br, or  $\text{C}_{1-3}$  alkyl then neither  $\text{R}_1$  nor  $\text{R}_2$  can be H or  $\text{C}_{1-4}$  alkyl; and when G is  $\text{C}(=\text{O})$  and in the 5- position and  $\text{R}_3$  is H, then  $\text{R}_1$  and  $\text{R}_2$  cannot both be  $\text{CH}_3$ ;

30  $\text{R}_5$  &  $\text{R}_6$  are the same or different and are H;  $\text{C}_{1-4}$  alkyl;  $\text{C}_{2-4}$  alkyl substituted optionally with OH, halogen,  $\text{C}_{1-4}$  alkoxy or  $\text{C}(=\text{O})\text{R}_7$ ;  $\text{C}_{1-4}$  alkoxy;  $\text{C}_{2-4}$  alkoxy substituted optionally with OH, halogen,  $\text{C}_{1-4}$  alkoxy

or C(=O)R<sub>7</sub>; C<sub>3-7</sub> alkenyl unsubstituted or substituted optionally with OH, NR<sub>5</sub>R<sub>6</sub>, or C<sub>1-4</sub> alkoxy; C<sub>3-7</sub> alkynyl unsubstituted or substituted optionally with OH, NR<sub>5</sub>R<sub>6</sub>, or C<sub>1-4</sub> alkoxy; C<sub>1-2</sub> alkylC<sub>3-5</sub> cycloalkyl; C(=O)R<sub>7</sub> or R<sub>5</sub> and R<sub>6</sub> can be joined to form a ring of 5 or 6 atoms selected from O, S, C or N, such as, pyrrolidine, oxazolidine, thiomorpholine, thiomorpholine 1,1 dioxide, morpholine, piperazine, or thiazolidine 1,1-dioxide, which can be unsubstituted or substituted optionally on carbon with OH, (=O), halogen, C<sub>1-4</sub> alkoxy, C(=O)R<sub>7</sub>, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkyl substituted optionally with OH, halogen, C<sub>1-4</sub> alkoxy, C(=O)R<sub>7</sub> or on nitrogen with C<sub>1-4</sub> alkoxy, C(=O)R<sub>7</sub>, S(=O)<sub>m</sub>R<sub>8</sub>, C<sub>1-6</sub> alkyl or C<sub>2-6</sub> alkyl substituted optionally with OH, halogen, C<sub>1-4</sub> alkoxy, C(=O)R<sub>7</sub> or on sulfur by (=O)<sub>m</sub>, wherein m is 0 - 2;

*Pub  
Ch  
cont '8*  
15  
R<sub>7</sub> is C<sub>1-8</sub> alkyl; C<sub>1-8</sub> alkyl substituted optionally with OH, NR<sub>5</sub>R<sub>6</sub>, halogen, C<sub>1-4</sub> alkoxy or C(=O)R<sub>9</sub>; C<sub>1-4</sub> alkoxy; C<sub>2-4</sub> alkoxy substituted optionally with OH, NR<sub>5</sub>R<sub>6</sub>, halogen or C<sub>1-4</sub> alkoxy; NR<sub>5</sub>R<sub>6</sub>; or phenyl or R<sub>10</sub> either of which can be unsubstituted or substituted optionally with OH, halogen, C<sub>1-3</sub> alkyl, C<sub>1-3</sub> haloalkoxy, (CH<sub>2</sub>)<sub>n</sub>NR<sub>5</sub>R<sub>6</sub>, S(=O)<sub>m</sub>R<sub>8</sub> or SO<sub>2</sub>NR<sub>5</sub>R<sub>6</sub>, wherein n is 0 or 1 and m is 0-2;

20 R<sub>8</sub> is C<sub>1-4</sub> alkyl; C<sub>2-4</sub> alkyl substituted optionally with OH, NR<sub>5</sub>R<sub>6</sub>, halogen, C<sub>1-4</sub> alkoxy or C(=O)R<sub>7</sub>;

R<sub>9</sub> is C<sub>1-4</sub> alkyl; C<sub>1-4</sub> alkoxy; amino, C<sub>1-3</sub> alkylamino, or di-C<sub>1-3</sub> alkylamino;

25 R<sub>10</sub> is a monocyclic ring system of 5 or 6 atoms composed of C, N, O, and/or S, such as furan, thiophene, pyrrole, pyrazole, imidazole, triazole, tetrazole, oxazole, isoxazole, isothiazole, thiazole, thiadiazole, pyridine, pyrimidine, pyridazine, and pyrazine; and

G is C(=O) or SO<sub>2</sub>.

2. The compound of Claim 1 wherein: R<sub>3</sub> is in the 4-position and GNR<sub>1</sub>R<sub>2</sub> is in the 5-position.

30 3. The compound of Claim 2 wherein:

R<sub>1</sub> is H; C<sub>1-4</sub> alkyl; C<sub>2-4</sub> alkyl substituted optionally with OH, halogen, C<sub>1-4</sub> alkoxy or C(=O)R<sub>7</sub>;

10  
15 *sub  
a<sup>2</sup>  
cont's*  
20  
25  
R<sub>2</sub> is H; C<sub>1-8</sub> alkyl; C<sub>2-8</sub> alkyl substituted with OH, NR<sub>5</sub>R<sub>6</sub>, halogen, C<sub>1-4</sub> alkoxy, C<sub>2-4</sub> alkoxy, C<sub>1-4</sub> alkoxy, OC(=O)R<sub>7</sub>, or C(=O)R<sub>7</sub>; C<sub>3-7</sub> alkenyl unsubstituted or substituted optionally with OH, NR<sub>5</sub>R<sub>6</sub>, or C<sub>1-4</sub> alkoxy; C<sub>3-7</sub> alkynyl unsubstituted or substituted optionally with C<sub>1-3</sub> alkyl, C<sub>1-3</sub> halo alkyl, OH, NR<sub>5</sub>R<sub>6</sub>, or C<sub>1-4</sub> alkoxy; C<sub>1-3</sub> alkyl substituted with phenyl or R<sub>10</sub> either of which can be unsubstituted or substituted optionally with C<sub>1-3</sub> alkyl, C<sub>1-3</sub> halo alkyl, OH, (CH<sub>2</sub>)<sub>n</sub>NR<sub>5</sub>R<sub>6</sub>, halogen, C<sub>1-4</sub> alkoxy, C<sub>1-4</sub> haloalkoxy, C(=O)R<sub>7</sub>, S(=O)<sub>m</sub>R<sub>8</sub> or SO<sub>2</sub>NR<sub>5</sub>R<sub>6</sub>, wherein m is 0 - 2 and n is 0 - 2; C<sub>2-4</sub> alkoxy substituted optionally with NR<sub>5</sub>R<sub>6</sub>, halogen, C<sub>1-4</sub> alkoxy, or C(=O)R<sub>7</sub>; phenyl or R<sub>10</sub> either of which can be unsubstituted or substituted optionally with OH, (CH<sub>2</sub>)<sub>n</sub>NR<sub>5</sub>R<sub>6</sub>, halogen, C<sub>1-4</sub> alkoxy, C<sub>1-4</sub> haloalkoxy, C(=O)R<sub>7</sub>, S(=O)<sub>m</sub>R<sub>8</sub> or SO<sub>2</sub>NR<sub>5</sub>R<sub>6</sub>, wherein m is 0 - 2 and n is 0 - 2; provided that R<sub>1</sub> and R<sub>2</sub> cannot both be H; or R<sub>1</sub> and R<sub>2</sub> can be joined to form a saturated ring of 5 or 6 atoms selected from O, S, C or N, such as, pyrrolidine, oxazolidine, thiomorpholine, thiomorpholine 1,1 dioxide, morpholine, piperazine, thiazolidine 1,1 dioxide, or tetrahydrooxazine, which can be unsubstituted or substituted optionally on carbon with OH, NR<sub>5</sub>R<sub>6</sub>, halogen, C<sub>1-4</sub> alkoxy, C(=O)R<sub>7</sub>, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkyl substituted optionally with OH, NR<sub>5</sub>R<sub>6</sub>, halogen, C<sub>1-4</sub> alkoxy, C(=O)R<sub>7</sub>, or on nitrogen with NR<sub>5</sub>R<sub>6</sub>, C<sub>1-4</sub> alkoxy, C(=O)R<sub>7</sub>, C<sub>1-6</sub> alkyl or C<sub>2-6</sub> alkyl substituted optionally with OH, NR<sub>5</sub>R<sub>6</sub>, halogen, C<sub>1-4</sub> alkoxy or C(=O)R<sub>7</sub>;

R<sub>3</sub> is H; halogen; C<sub>1-4</sub> alkyl; C<sub>1-8</sub> alkoxy; C<sub>1-8</sub> alkylthiol; C<sub>2-8</sub> alkoxy substituted optionally with OH, NR<sub>5</sub>R<sub>6</sub>, halogen, C<sub>1-4</sub> alkoxy or C(=O)R<sub>7</sub>; C<sub>1-4</sub> alkyl substituted optionally with R<sub>4</sub>.

4. The compound of Claim 2 wherein:

30 R<sub>1</sub> and R<sub>3</sub> are joined together with carbon atoms to form a ring of from 5 to 7 members in which said carbon atoms are unsubstituted or substituted with R<sub>4</sub>.

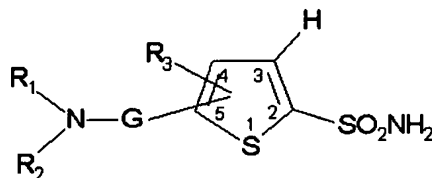
5. The compound of Claim 4 wherein:

*5 sub A3*  
10  
 $R_2$  is H;  $C_{1-4}$  alkyl;  $C_{2-4}$  alkyl substituted with OH,  $NR_5R_6$ , halogen,  $C_{1-2}$  alkoxy,  $C_{2-4}$  alkoxy,  $C_{1-4}$  alkoxy,  $OC(=O)R_7$ , or  $C(=O)R_7$ ; phenyl, or  $R_{10}$ , unsubstituted or substituted optionally with  $C_1-C_3$  alkyl,  $C_1-C_3$  halo alkyl, OH,  $(CH_2)_nNR_5R_6$ , halogen,  $C_{1-4}$  alkoxy,  $C_{1-4}$  haloalkoxy,  $C(=O)R_7$ ,  $S(=O)_mR_8$  or  $SO_2NR_5R_6$ , wherein m is 0 - 2 and n is 0 - 2;  $C_{1-3}$  alkyl substituted with phenyl or  $R_{10}$  either of which can be unsubstituted or substituted optionally with  $C_1-C_3$  alkyl,  $C_1-C_3$  halo alkyl OH,  $(CH_2)_nNR_5R_6$ , halogen,  $C_{1-4}$  alkoxy,  $C_{1-4}$  haloalkoxy,  $C(=O)R_7$ ,  $S(=O)_mR_8$  or  $SO_2NR_5R_6$ , wherein m is 0 - 2 and n is 0 - 2.

6. The compound of Claim 5 wherein: G is  $SO_2$  and

*15 sub B2*  
 $R_4$  is OH;  $C_{1-4}$  alkoxy;  $C_{2-4}$  alkoxy substituted optionally with OH,  $NR_5R_6$ , halogen,  $C_{1-4}$  alkoxy or  $C(=O)R_7$ ; or  $NR_5R_6$ ; phenyl, or  $R_{10}$  unsubstituted or substituted optionally with OH,  $(CH_2)_nNR_5R_6$ , halogen,  $C_{1-4}$  alkoxy,  $C_{1-4}$  haloalkoxy,  $C(=O)R_7$ ,  $S(=O)_mR_8$  or  $SO_2NR_5R_6$ , wherein m is 0 - 2 and n is 0 - 2.

7. A compound of the formula



*[Handwritten signature]*  
 $R_1$  is H;  $C_{1-4}$  alkyl;  $C_{2-4}$  alkyl substituted optionally with OH, halogen,  $C_{1-4}$  alkoxy or  $C(=O)R_7$ ;

5  $R_2$  is H;  $C_{1-8}$  alkyl;  $C_{2-8}$  alkyl substituted with OH,  $NR_5R_6$ , halogen,  $C_{1-4}$  alkoxy,  $C_{2-4}$  alkoxy  $C_{1-4}$  alkoxy,  $OC(=O)R_7$ , or  $C(=O)R_7$ ;  $C_{3-7}$  alkenyl unsubstituted or substituted optionally with OH,  $NR_5R_6$ , or  $C_{1-4}$  alkoxy;  $C_{3-7}$  alkynyl unsubstituted or substituted optionally with OH,  $NR_5R_6$ , or  $C_{1-4}$  alkoxy;  $C_{1-3}$  alkyl substituted with phenyl or  $R_{10}$  either  
 10 of which can be unsubstituted or substituted optionally with  $C_1$ - $C_3$  alkyl,  $C_1$ - $C_3$  halo alkyl, OH,  $(CH_2)_nNR_5R_6$ , halogen,  $C_{1-4}$  alkoxy,  $C_{1-4}$  haloalkoxy,  $C(=O)R_7$ ,  $S(=O)_mR_8$  or  $SO_2NR_5R_6$ , wherein m is 0 - 2 and n is 0 - 2;  $C_{2-4}$  alkoxy substituted optionally with  $NR_5R_6$ , halogen,  $C_{1-4}$  alkoxy, or  $C(=O)R_7$ ; phenyl or  $R_{10}$  either of which can be unsubstituted  
 15 or substituted optionally with  $C_1$ - $C_3$  alkyl,  $C_1$ - $C_3$  halo alkyl, OH,  $(CH_2)_nNR_5R_6$ , halogen,  $C_{1-4}$  alkoxy,  $C_{1-4}$  haloalkoxy,  $C(=O)R_7$ ,  $S(=O)_mR_8$  or  $SO_2NR_5R_6$ , wherein m is 0 - 2 and n is 0 - 2; provided that  $R_1$  and  $R_2$  cannot both be H; or  $R_1$  and  $R_2$  can be joined to form a saturated ring  
 20 of 5 or 6 atoms selected from O, S, C or N, such as, pyrrolidine, oxazolidine, thiomorpholine, thiomorpholine 1,1 dioxide, morpholine,

piperazine, thiazolidine 1,1 dioxide, or tetrahydrooxazine, which can be unsubstituted or substituted optionally on carbon with OH,  $\text{NR}_5\text{R}_6$ , halogen,  $\text{C}_{1-4}$  alkoxy,  $\text{C}(=\text{O})\text{R}_7$ ,  $\text{C}_{1-6}$  alkyl,  $\text{C}_{1-6}$  alkyl substituted optionally with OH,  $\text{NR}_5\text{R}_6$ , halogen,  $\text{C}_{1-4}$  alkoxy,  $\text{C}(=\text{O})\text{R}_7$  or on nitrogen with  $\text{NR}_5\text{R}_6$ ,  $\text{C}_{1-4}$  alkoxy,  $\text{C}(=\text{O})\text{R}_7$ ,  $\text{C}_{1-6}$  alkyl or  $\text{C}_{2-6}$  alkyl substituted optionally with OH,  $\text{NR}_5\text{R}_6$ , halogen,  $\text{C}_{1-4}$  alkoxy or  $\text{C}(=\text{O})\text{R}_7$ ;

$\text{R}_3$  is H; halogen;  $\text{C}_{1-4}$  alkyl;  $\text{C}_{1-8}$  alkoxy;  $\text{C}_{1-8}$  alkylthiol;  $\text{C}_{2-8}$  alkoxy substituted optionally with OH,  $\text{NR}_5\text{R}_6$ , halogen,  $\text{C}_{1-4}$  alkoxy or  $\text{C}(=\text{O})\text{R}_7$ ;  $\text{C}_{1-4}$  alkyl substituted optionally with  $\text{R}_4$ ; or  $\text{R}_1$  and  $\text{R}_3$  can be joined together with carbon atoms to form a ring of from 5 to 7 members in which said carbon atoms can be unsubstituted or substituted optionally with  $\text{R}_4$ ;

$\text{R}_4$  is OH;  $\text{C}_{1-4}$  alkyl unsubstituted or substituted optionally with OH,  $\text{NR}_5\text{R}_6$ , halogen,  $\text{C}_{1-4}$  alkoxy or  $\text{C}(=\text{O})\text{R}_7$ ;  $\text{C}_{1-4}$  alkoxy;  $\text{C}_{2-4}$  alkoxy substituted optionally with OH,  $\text{NR}_5\text{R}_6$ , halogen,  $\text{C}_{1-4}$  alkoxy or  $\text{C}(=\text{O})\text{R}_7$ ;  $\text{NR}_5\text{R}_6$ ; phenyl or  $\text{R}_{10}$  either of which can be unsubstituted or substituted optionally with OH,  $(\text{CH}_2)_n\text{NR}_5\text{R}_6$ , halogen,  $\text{C}_{1-4}$  alkoxy,  $\text{C}_{1-4}$  haloalkoxy,  $\text{C}(=\text{O})\text{R}_7$ ,  $\text{S}(=\text{O})_m\text{R}_8$  or  $\text{SO}_2\text{NR}_5\text{R}_6$ , wherein m is 0 - 2 and n is 0 - 2;

Provided that when G is  $\text{SO}_2$  and  $\text{R}_3$  is in the 4 position and is H or halogen then  $\text{R}_1$  and  $\text{R}_2$  are not H,  $\text{C}_{1-6}$  alkyl substituted optionally with OH,  $\text{C}_{1-6}$  alkoxy,  $\text{C}_{2-6}$  alkoxycarbonyl,  $\text{C}_{2-6}$  alkenyl, phenyl, phenoxy, pyridyl, tetrahydrofuryl,  $\text{C}_{2-6}$  alkanoyl,  $\text{C}_{2-6}$  alkenyl, nor are they joined to form a 5, 6 or 7 member ring, saturated or unsaturated, comprised of atoms selected optionally from C, O, S, N in which said nitrogen, when saturated, is substituted optionally with H or  $\text{C}_{1-6}$  alkyl or in which said carbon is substituted optionally with  $\text{C}_{1-6}$  alkyl,  $\text{C}_{1-6}$  alkoxy or OH; and when  $\text{R}_3$  is in the 5 position and is H, Cl, Br, or  $\text{C}_{1-3}$  alkyl then neither  $\text{R}_1$  nor  $\text{R}_2$  can be H or  $\text{C}_{1-4}$  alkyl; and when G is  $\text{C}(=\text{O})$  and in the 5 position and  $\text{R}_3$  is H then  $\text{R}_1$  and  $\text{R}_2$  cannot both be  $\text{CH}_3$ ;

$\text{R}_5$  &  $\text{R}_6$  are the same or different and are H;  $\text{C}_{1-4}$  alkyl;  $\text{C}_{2-4}$  alkyl substituted optionally with OH, halogen,  $\text{C}_{1-4}$  alkoxy or  $\text{C}(=\text{O})\text{R}_7$ ;  $\text{C}_{1-4}$  alkoxy;  $\text{C}_{2-4}$  alkoxy substituted optionally with OH, halogen,  $\text{C}_{1-4}$  alkoxy or  $\text{C}(=\text{O})\text{R}_7$ ;  $\text{C}_{3-7}$  alkenyl unsubstituted or substituted optionally

with OH,  $\text{NR}_5\text{R}_6$ , or  $\text{C}_{1-4}$  alkoxy;  $\text{C}_{3-7}$  alkynyl unsubstituted or substituted optionally with OH,  $\text{NR}_5\text{R}_6$ , or  $\text{C}_{1-4}$  alkoxy;  $\text{C}_{1-2}\text{alkylC}_{3-5}\text{cycloalkyl}$ ;  $\text{C}(=\text{O})\text{R}_7$  or  $\text{R}_5$  and  $\text{R}_6$  can be joined to form a ring of 5 or 6 atoms selected from O, S, C or N, such as, pyrrolidine, oxazolidine, thiomorpholine, thiomorpholine 1,1 dioxide, morpholine, piperazine, thiazolidine 1,1-dioxide, or tetrahydrooxazine, which can be unsubstituted or substituted optionally on carbon with OH,  $(=\text{O})$ , halogen,  $\text{C}_{1-4}$  alkoxy,  $\text{C}(=\text{O})\text{R}_7$ ,  $\text{C}_{1-6}$  alkyl,  $\text{C}_{1-6}$  alkyl substituted optionally with OH, halogen,  $\text{C}_{1-4}$  alkoxy,  $\text{C}(=\text{O})\text{R}_7$  or on nitrogen with  $\text{C}_{1-4}$  alkoxy,  $\text{C}(=\text{O})\text{R}_7$ ,  $\text{S}(=\text{O})_m\text{R}_8$ ,  $\text{C}_{1-6}$  alkyl or  $\text{C}_{2-6}$  alkyl substituted optionally with OH, halogen,  $\text{C}_{1-4}$  alkoxy,  $\text{C}(=\text{O})\text{R}_7$  or on sulfur by  $(=\text{O})_m$ , wherein m is 0 - 2;

*pub 15/11/94 cont'd*  
 $\text{R}_7$  is  $\text{C}_{1-8}$  alkyl;  $\text{C}_{1-8}$  alkyl substituted optionally with OH,  $\text{NR}_5\text{R}_6$ , halogen,  $\text{C}_{1-4}$  alkoxy or  $\text{C}(=\text{O})\text{R}_9$ ;  $\text{C}_{1-4}$  alkoxy;  $\text{C}_{2-4}$  alkoxy substituted optionally with OH,  $\text{NR}_5\text{R}_6$ , halogen or  $\text{C}_{1-4}$  alkoxy;  $\text{NR}_5\text{R}_6$ ; or phenyl or  $\text{R}_{10}$  either of which can be unsubstituted or substituted optionally with OH, halogen,  $\text{C}_{1-3}$  alkyl,  $\text{C}_{1-3}$  haloalkoxy,  $(\text{CH}_2)_n\text{NR}_5\text{R}_6$ ,  $\text{S}(=\text{O})_m\text{R}_8$  or  $\text{SO}_2\text{NR}_5\text{R}_6$ , wherein n is 0 or 1 and m is 0-2;

$\text{R}_8$  is  $\text{C}_{1-4}$  alkyl;  $\text{C}_{2-4}$  alkyl substituted optionally with OH,  $\text{NR}_5\text{R}_6$ , halogen,  $\text{C}_{1-4}$  alkoxy or  $\text{C}(=\text{O})\text{R}_7$ ;

$\text{R}_9$  is  $\text{C}_{1-4}$  alkyl;  $\text{C}_{1-4}$  alkoxy; amino,  $\text{C}_{1-3}$  alkylamino, or di- $\text{C}_{1-3}$  alkylamino;

$\text{R}_{10}$  is a monocyclic ring system of 5 or 6 atoms composed of C, N, O, and/or S, such as furan, thiophene, pyrrole, pyrazole, imidazole, triazole, tetrazole, oxazole, isoxazole, isothiazole, thiazole, thiadiazole, pyridine, pyrimidine, pyridazine, and pyrazine; and

G is  $\text{SO}_2$  and  $\text{C}=\text{O}$  provided that when G is  $\text{C}=\text{O}$  then  $\text{R}_1$  and  $\text{R}_3$  are not joined together in a six member ring.

8. The compound of Claim 7 wherein  $\text{R}_3$  is in the 4-position and  $\text{GNR}_1\text{R}_2$  is in the 5-position.

9. The compound of Claim 8 wherein:

$R_1$  is H;  $C_{1-4}$  alkyl; or  $C_{2-4}$  alkyl substituted optionally with OH, halogen,  $C_{1-4}$  alkoxy or  $C(=O)R_7$ ;

5  $R_2$  is H;  $C_{1-8}$  alkyl;  $C_{2-8}$  alkyl substituted with OH,  $NR_5R_6$ , halogen,  $C_{1-4}$  alkoxy,  $C_{2-4}$  alkoxy,  $C_{1-4}$  alkoxy,  $OC(=O)R_7$ , or  $C(=O)R_7$ ;  $C_{3-7}$  alkenyl unsubstituted or substituted optionally with OH,  $NR_5R_6$ , or  $C_{1-4}$  alkoxy;  $C_{3-7}$  alkynyl unsubstituted or substituted optionally with OH,  $NR_5R_6$ , or  $C_{1-4}$  alkoxy;  $C_{1-3}$  alkyl substituted with phenyl or  $R_{10}$  which can be unsubstituted or substituted optionally with  $C_{1-3}$  alkyl,  $C_{1-3}$  halo alkyl, OH,  $(CH_2)_nNR_5R_6$ , halogen,  $C_{1-4}$  alkoxy,  $C_{1-4}$  haloalkoxy,  $C(=O)R_7$ ,  $S(=O)_mR_8$  or  $SO_2NR_5R_6$ , wherein m is 0 - 2 and n is 0 - 2;  $C_{2-4}$  alkoxy substituted optionally with  $NR_5R_6$ , halogen,  $C_{1-4}$  alkoxy, or  $C(=O)R_7$ ; phenyl, or  $R_{10}$  unsubstituted or substituted optionally with  $C_{1-3}$  alkyl,  $C_{1-3}$  halo alkyl, OH,  $(CH_2)_nNR_5R_6$ , halogen,  $C_{1-4}$  alkoxy,  $C_{1-4}$  haloalkoxy,  $C(=O)R_7$ ,  $S(=O)_mR_8$  or  $SO_2NR_5R_6$ , wherein m is 0-2 and n is 0 - 2; provided that  $R_1$  and  $R_2$  cannot both be H; or  $R_1$  and  $R_2$  can be joined to form a saturated ring of 5 or 6 atoms selected from O, S, C or N which can be unsubstituted or substituted optionally on carbon with OH,  $NR_5R_6$ , halogen,  $C_{1-4}$  alkoxy,  $C(=O)R_7$ ,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkyl substituted optionally with OH,  $NR_5R_6$ , halogen,  $C_{1-4}$  alkoxy,  $C(=O)R_7$  or on nitrogen with  $NR_5R_6$ ,  $C_{1-4}$  alkoxy,  $C(=O)R_7$ ,  $C_{1-6}$  alkyl or  $C_{2-6}$  alkyl substituted optionally with OH,  $NR_5R_6$ , halogen,  $C_{1-4}$  alkoxy or  $C(=O)R_7$ ;

$R_3$  is H; halogen;  $C_{1-4}$  alkyl;  $C_{1-8}$  alkoxy,  $C_{1-8}$  alkylthiol,  $C_{2-8}$  alkoxy substituted optionally with OH,  $NR_5R_6$ , halogen,  $C_{1-4}$  alkoxy or  $C(=O)R_7$ ; or  $C_{1-4}$  alkyl substituted optionally with  $R_4$ .

10. The compound of Claim 8 wherein:

$R_1$  and  $R_3$  are joined together with carbon atoms to form a ring of from 5 to 7 members in which said carbon atoms are unsubstituted or substituted with  $R_4$ .

11. The compound of Claim 10 wherein:

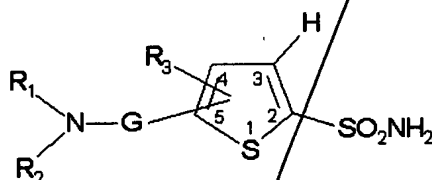
30  $R_2$  is H;  $C_{1-4}$  alkyl;  $C_{2-4}$  alkyl substituted with OH,  $NR_5R_6$ , halogen,  $C_{1-2}$  alkoxy,  $C_{2-4}$  alkoxy,  $C_{1-4}$  alkoxy,  $OC(=O)R_7$ , or  $C(=O)R_7$ ;  $C_{1-3}$  alkyl substituted with

phenyl or  $R_{10}$  group either of which can be unsubstituted or substituted optionally with  $C_1$ - $C_3$ alkyl,  $C_1$ - $C_3$ halo alkyl, OH,  $(CH_2)_nNR_5R_6$ , halogen,  $C_{1-4}$  alkoxy,  $C_{1-4}$  haloalkoxy,  $C(=O)R_7$ ,  $S(=O)_mR_8$  or  $SO_2NR_5R_6$ , wherein m is 0 - 2 and n is 0 - 2; phenyl or a  $R_{10}$  either of which can be unsubstituted or substituted optionally with  $C_1$ - $C_3$ alkyl,  $C_1$ - $C_3$ halo alkyl, OH,  $(CH_2)_nNR_5R_6$ , halogen,  $C_{1-4}$  alkoxy,  $C_{1-4}$  haloalkoxy,  $C(=O)R_7$ ,  $S(=O)_mR_8$  or  $SO_2NR_5R_6$ , wherein m is 0 - 2 and n is 0 - 2.

12. The compound of Claim 11 wherein: G is  $SO_2$  and

$R_4$  is OH;  $C_{1-4}$  alkoxy;  $C_{2-4}$  alkoxy substituted optionally with OH,  $NR_5R_6$ , halogen,  $C_{1-4}$  alkoxy or  $C(=O)R_7$ ; or  $NR_5R_6$ ; phenyl, or  $R_{10}$ , unsubstituted or substituted optionally with OH,  $(CH_2)_nNR_5R_6$ , halogen,  $C_{1-4}$  alkoxy,  $C_{1-4}$  haloalkoxy,  $C(=O)R_7$ ,  $S(=O)_mR_8$  or  $SO_2NR_5R_6$ , wherein m is 0-2 and n is 0 - 2.

13. A compound of the formula



or a pharmaceutically acceptable salt thereof wherein:

$R_1$  is H;  $C_{1-4}$  alkyl;  $C_{2-4}$  alkyl substituted optionally with OH, halogen,  $C_{1-4}$  alkoxy or  $C(=O)R_7$ ;

$R_2$  is H;  $C_{1-8}$  alkyl;  $C_{2-8}$  alkyl substituted with OH,  $NR_5R_6$ , halogen,  $C_{1-4}$  alkoxy,  $C_{2-4}$ alkoxy,  $C_{1-4}$ alkoxy,  $OC(=O)R_7$ , or  $C(=O)R_7$ ;  $C_{3-7}$  alkenyl unsubstituted or substituted optionally with OH,  $NR_5R_6$ , or  $C_{1-4}$  alkoxy;  $C_{3-7}$  alkynyl unsubstituted or substituted optionally with OH,  $NR_5R_6$ , or  $C_{1-4}$  alkoxy;  $C_{1-3}$  alkyl substituted with phenyl or  $R_{10}$  either

of which can be unsubstituted or substituted optionally with  $C_1$ - $C_3$ alkyl,  $C_1$ - $C_3$ halo alkyl, OH,  $(CH_2)_nNR_5R_6$ , halogen,  $C_{1-4}$  alkoxy,  $C_{1-4}$  haloalkoxy,  $C(=O)R_7$ ,  $S(=O)_mR_8$  or  $SO_2NR_5R_6$ , wherein m is 0 - 2 and n is 0 - 2;  $C_{2-4}$  alkoxy substituted optionally with  $NR_5R_6$ , halogen,  $C_{1-4}$  alkoxy, or  $C(=O)R_7$ ; phenyl or  $R_{10}$  either of which can be unsubstituted or substituted optionally with  $C_1$ - $C_3$ alkyl,  $C_1$ - $C_3$ halo alkyl, OH,  $(CH_2)_nNR_5R_6$ , halogen,  $C_{1-4}$  alkoxy,  $C_{1-4}$  haloalkoxy,  $C(=O)R_7$ ,  $S(=O)_mR_8$  or  $SO_2NR_5R_6$ , wherein m is 0 - 2 and n is 0 - 2; provided that  $R_1$  and  $R_2$  cannot both be H;

5  
10  $R_3$  is H; halogen;  $C_{1-4}$  alkyl;  $C_{1-8}$  alkoxy;  $C_{1-8}$  alkylthiol;  $C_{2-8}$  alkoxy substituted optionally with OH,  $NR_5R_6$ , halogen,  $C_{1-4}$  alkoxy or  $C(=O)R_7$ ;  $C_{1-4}$  alkyl substituted optionally with  $R_4$ ; or  $R_1$  and  $R_3$  can be joined together with carbon atoms to form a ring of from 5 to 7 members in which said carbon atoms can be unsubstituted or substituted optionally with  $R_4$ ;

15  
20  $R_4$  is OH;  $C_{1-4}$  alkyl unsubstituted or substituted optionally with OH,  $NR_5R_6$ , halogen,  $C_{1-4}$  alkoxy or  $C(=O)R_7$ ;  $C_{1-4}$  alkoxy;  $C_{2-4}$  alkoxy substituted optionally with OH,  $NR_5R_6$ , halogen,  $C_{1-4}$  alkoxy or  $C(=O)R_7$ ;  $NR_5R_6$ ; phenyl or  $R_{10}$  either of which can be unsubstituted or substituted optionally with OH,  $(CH_2)_nNR_5R_6$ , halogen,  $C_{1-4}$  alkoxy,  $C_{1-4}$  haloalkoxy,  $C(=O)R_7$ ,  $S(=O)_mR_8$  or  $SO_2NR_5R_6$ , wherein m is 0 - 2 and n is 0 - 2; provided that when  $R_3$  is in the 5 position and is H, Cl, Br, or  $C_{1-13}$  alkyl then neither  $R_1$  nor  $R_2$  can be H or  $C_{1-4}$  alkyl;

25  $R_5$  &  $R_6$  are the same or different and are H;  $C_{1-4}$  alkyl;  $C_{2-4}$  alkyl substituted optionally with OH, halogen,  $C_{1-4}$  alkoxy or  $C(=O)R_7$ ;  $C_{1-4}$  alkoxy;  $C_{2-4}$  alkoxy substituted optionally with OH, halogen,  $C_{1-4}$  alkoxy or  $C(=O)R_7$ ;  $C_{3-7}$  alkenyl unsubstituted or substituted optionally with OH,  $NR_5R_6$ , or  $C_{1-4}$  alkoxy;  $C_{3-7}$  alkynyl unsubstituted or substituted optionally with OH,  $NR_5R_6$ , or  $C_{1-4}$  alkoxy;  $C_{1-2}$ alkyl $C_{3-5}$ cycloalkyl;  $C(=O)R_7$  or  $R_5$  and  $R_6$  can be joined to form a ring of 5 or 6 atoms selected from O, S, C or N, such as, pyrrolidine, oxazolidine, thiomorpholine, thiomorpholine 1,1 dioxide, morpholine, piperazine, or thiazolidine 1,1-dioxide which can be

unsubstituted or substituted optionally on carbon with OH, (=O), halogen, C<sub>1-4</sub> alkoxy, C(=O)R<sub>7</sub>, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkyl substituted optionally with OH, halogen, C<sub>1-4</sub> alkoxy, C(=O)R<sub>7</sub> or on nitrogen with C<sub>1-4</sub> alkoxy, C(=O)R<sub>7</sub>, S(=O)<sub>m</sub>R<sub>8</sub>, C<sub>1-6</sub> alkyl or C<sub>2-6</sub> alkyl substituted optionally with OH, halogen, C<sub>1-4</sub> alkoxy, C(=O)R<sub>7</sub> or on sulfur by (=O)<sub>m</sub>, wherein m is 0 - 2;

R<sub>7</sub> is C<sub>1-8</sub> alkyl; C<sub>1-8</sub> alkyl substituted optionally with OH, NR<sub>5</sub>R<sub>6</sub>, halogen, C<sub>1-4</sub> alkoxy or C(=O)R<sub>9</sub>; C<sub>1-4</sub> alkoxy; C<sub>2-4</sub> alkoxy substituted optionally with OH, NR<sub>5</sub>R<sub>6</sub>, halogen or C<sub>1-4</sub> alkoxy; NR<sub>5</sub>R<sub>6</sub>; or phenyl or R<sub>10</sub> either of which can be unsubstituted or substituted optionally with OH, halogen, C<sub>1-3</sub> alkyl, C<sub>1-3</sub> haloalkoxy, (CH<sub>2</sub>)<sub>n</sub>NR<sub>5</sub>R<sub>6</sub>, S(=O)<sub>m</sub>R<sub>8</sub> or SO<sub>2</sub>NR<sub>5</sub>R<sub>6</sub>, wherein n is 0 or 1 and m is 0-2;

R<sub>8</sub> is C<sub>1-4</sub> alkyl; C<sub>2-4</sub> alkyl substituted optionally with OH, NR<sub>5</sub>R<sub>6</sub>, halogen, C<sub>1-4</sub> alkoxy or C(=O)R<sub>7</sub>;

R<sub>9</sub> is C<sub>1-4</sub> alkyl; C<sub>1-4</sub> alkoxy; amino, C<sub>1-3</sub> alkylamino, or di-C<sub>1-3</sub> alkylamino;

R<sub>10</sub> is a monocyclic ring system of 5 or 6 atoms composed of C, N, O, and/or S, such as furan, thiophene, pyrrole, pyrazole, imidazole, triazole, tetrazole, oxazole, isoxazole, isothiazole, thiazole, thiadiazole, pyridine, pyrimidine, pyridazine, and pyrazine; and

G is SO<sub>2</sub>.

14. The compound of Claim 13 wherein: R<sub>3</sub> is in the 4-position and GNR<sub>1</sub>R<sub>2</sub> is in the 5-position.

15. The compound of Claim 14 wherein:

$R_1$  is H;  $C_{1-4}$  alkyl; or  $C_{2-4}$  alkyl substituted optionally with OH, halogen,  $C_{1-4}$  alkoxy or  $C(=O)R_7$ ;

5  $R_2$  is H;  $C_{1-4}$  alkyl;  $C_{2-4}$  alkyl substituted with OH,  $NR_5R_6$ , halogen,  $C_{1-2}$  alkoxy,  $C_{2-4}$  alkoxy,  $C_{1-4}$  alkoxy,  $OC(=O)R_7$ , or  $C(=O)R_7$ ; phenyl, or  $R_{10}$ , unsubstituted or substituted optionally with  $C_1-C_3$  alkyl,  $C_1-C_3$  halo alkyl, OH,  $(CH_2)_nNR_5R_6$ , halogen,  $C_{1-4}$  alkoxy,  $C_{1-4}$  haloalkoxy,  $C(=O)R_7$ ,  $S(=O)_mR_8$  or  $SO_2NR_5R_6$ , wherein m is 0 - 2 and n is 0 - 2;  $C_{1-3}$  alkyl substituted with phenyl or  $R_{10}$  either of which can be unsubstituted or substituted optionally with  $C_1-C_3$  alkyl,  $C_1-C_3$  halo alkyl, OH,  $(CH_2)_nNR_5R_6$ , halogen,  $C_{1-4}$  alkoxy,  $C_{1-4}$  haloalkoxy,  $C(=O)R_7$ ,  $S(=O)_mR_8$  or  $SO_2NR_5R_6$ , wherein m is 0 - 2 and n is 0 - 2.

15  $R_3$  is H; halogen;  $C_{1-4}$  alkyl;  $C_{1-8}$  alkoxy;  $C_{1-8}$  alkylthiol;  $C_{2-8}$  alkoxy substituted optionally with OH,  $NR_5R_6$ , halogen,  $C_{1-4}$  alkoxy or  $C(=O)R_7$ ;  $C_{1-4}$  alkyl substituted optionally with  $R_4$ .

16. The compound of Claim 14 wherein:

20  $R_1$  and  $R_3$  are joined together with carbon atoms to form a ring of from 5 to 7 members in which said carbon atoms are unsubstituted or substituted with  $R_4$ .

17. The compound of Claim 16 wherein:

25  $R_2$  is H;  $C_{1-4}$  alkyl;  $C_{2-4}$  alkyl substituted with OH,  $NR_5R_6$ , halogen,  $C_{1-2}$  alkoxy,  $C_{2-4}$  alkoxy,  $C_{1-4}$  alkoxy,  $OC(=O)R_7$ , or  $C(=O)R_7$ ; phenyl, or  $R_{10}$ , unsubstituted or substituted optionally with  $C_1-C_3$  alkyl,  $C_1-C_3$  halo alkyl, OH,  $(CH_2)_nNR_5R_6$ , halogen,  $C_{1-4}$  alkoxy,  $C_{1-4}$  haloalkoxy,  $C(=O)R_7$ ,  $S(=O)_mR_8$  or  $SO_2NR_5R_6$ , wherein m is 0 - 2 and n is 0 - 2;  $C_{1-3}$  alkyl substituted with phenyl or  $R_{10}$  either of which can be unsubstituted or substituted optionally with  $C_1-C_3$  alkyl,  $C_1-C_3$  halo alkyl, OH,  $(CH_2)_nNR_5R_6$ , halogen,  $C_{1-4}$  alkoxy,  $C_{1-4}$  haloalkoxy,  $C(=O)R_7$ ,  $S(=O)_mR_8$  or  $SO_2NR_5R_6$ , wherein m is 30 0 - 2 and n is 0 - 2.

18. The compound of Claim 17 wherein:

$R_4$  is OH;  $C_{1-4}$  alkoxy;  $C_{2-4}$  alkoxy substituted optionally with OH,  $NR_5R_6$ , halogen,  $C_{1-4}$  alkoxy or  $C(=O)R_7$ ; or  $NR_5R_6$ ; phenyl, or  $R_{10}$ , unsubstituted or substituted optionally with OH,  $(CH_2)_nNR_5R_6$ , halogen,  $C_{1-4}$  alkoxy,  $C_{1-4}$  haloalkoxy,  $C(=O)R_7$ ,  $S(=O)_mR_8$  or  $SO_2NR_5R_6$ , wherein  $m$  is 0 - 2 and  $n$  is 0 - 2.

19. A compound selected from the group consisting of:

R-(+)-4-Ethylamino-3,4-dihydro-2-(3-methoxy)propyl-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide-1,1-dioxide hydrochloride;

(R)-4-Ethylamino-2-(4-methoxy-phenyl)-3,4-dihydro-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide hydrochloride;

(R)-4-Ethylamino-3,4-dihydro-2-(3-methoxy-phenyl)-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide hydrochloride;

(R)-4-Ethylamino-2-(4-hydroxy-phenyl)-3,4-dihydro-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide hydrochloride;

(R)-4-Ethylamino-3,4-dihydro-2-(3-hydroxy-phenyl)-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide hydrochloride;

(R)-4-Ethylamino-3,4-dihydro-2-(4-hydroxy-phenylmethyl)-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide hydrochloride;

(R)-4-Ethylamino-3,4-dihydro-2-(3-methoxy-phenylmethyl)-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide hydrochloride;

R-(+)-3,4-Dihydro-2-(4-methoxybutyl)-4-propylamino-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide hydrochloride;

R-(+)-4-Ethylamino-3,4-dihydro-2-(4-methoxybutyl)-2H-thieno[3,2-

e]-1,2-thiazine-6-sulfonamide 1,1-dioxide hydrochloride;

R-(+)-4-Ethylamino-3,4-dihydro-2-(2-methylpropyl)-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide hydrochloride;

R-(+)-4-Ethylamino-3,4-dihydro-2-(6-hydroxyhexyl)-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide hydrochloride;

R-3,4-Dihydro-2-(3-hydroxypropyl)-4-(2-methylpropyl)amino-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide hydrochloride hemihydrate.

8

10 ~~20.~~ A formulation for controlling intraocular pressure comprising a therapeutically effective amount of the compound of Claim 1 in a pharmaceutically acceptable carrier.

21. A formulation for controlling intraocular pressure comprising a therapeutically effective amount of the compound of Claim 7 in a pharmaceutically acceptable carrier.

15 ~~22.~~ A formulation for controlling intraocular pressure comprising a therapeutically effective amount of the compound of Claim 13 in a pharmaceutically acceptable carrier.

9  
20 ~~23.~~ A formulation for controlling intraocular pressure comprising a therapeutically effective amount of the compound of Claim ~~13~~ <sup>7</sup> in a pharmaceutically acceptable carrier.

10

~~24.~~ The formulation of Claim ~~20~~ <sup>8</sup> wherein the compound concentration is between 0.1 and 10% by weight.

25. The formulation of Claim 21 wherein the compound concentration is between 0.1 and 10% by weight.

25 ~~26.~~ The formulation of Claim 22 wherein the compound concentration is between 0.1 and 10% by weight.

~~11~~  
~~27.~~ The formulation of Claim ~~23~~<sup>9</sup> wherein the compound concentration is between 0.1 and 10% by weight.

~~12~~  
~~28.~~ The formulation of Claim ~~24~~<sup>10</sup> wherein the compound concentration is between 0.1 and 10% by weight.

5 ~~13~~  
~~29.~~ A method for controlling intraocular pressure which comprises topically administering to the affected eye a therapeutically effective amount of the compound of Claim 1.

10 30. A method for controlling intraocular pressure which comprises topically administering to the affected eye a therapeutically effective amount of the compound of Claim 7.

31. A method for controlling intraocular pressure which comprises topically administering to the affected eye a therapeutically effective amount of the compound of Claim 13.

15 ~~14~~  
~~32.~~ A method for controlling intraocular pressure which comprises topically administering to the affected eye a therapeutically effective amount of the compound of Claim ~~19~~<sup>12</sup>.